

This Page Is Inserted by IFW Operations  
and is not a part of the Official Record

## **BEST AVAILABLE IMAGES**

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images may include (but are not limited to):

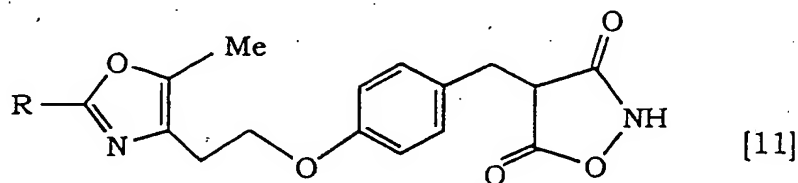
- BLACK BORDERS
- TEXT CUT OFF AT TOP, BOTTOM OR SIDES
- FADED TEXT
- ILLEGIBLE TEXT
- SKEWED/SLANTED IMAGES
- COLORED PHOTOS
- BLACK OR VERY BLACK AND WHITE DARK PHOTOS
- GRAY SCALE DOCUMENTS

**IMAGES ARE BEST AVAILABLE COPY.**

**As rescanning documents *will not* correct images,  
please do not report the images to the  
Image Problem Mailbox.**

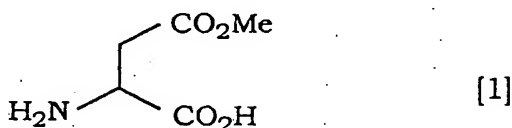
# WHAT IS CLAIMED IS

1. A method for producing an isoxazolidinedione compound of the formula [11]



wherein R is an optionally substituted aromatic hydrocarbon group, an optionally substituted alicyclic hydrocarbon group, an optionally substituted heterocyclic group or an optionally substituted condensed heterocyclic group, or a salt thereof, comprising the steps of

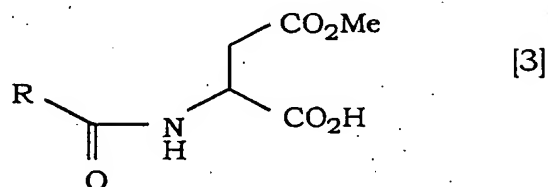
- (a) reacting compound [1]



or a salt thereof with a compound of the formula [2]

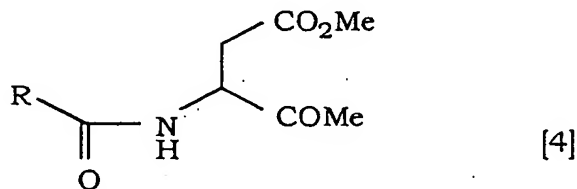


wherein R is as defined above, in the presence of an inorganic base in an aqueous solvent to give an aspartate derivative of the formula [3]



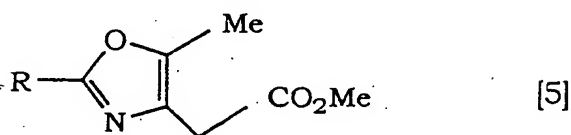
wherein R is as defined above;

- (b) reacting this compound with acetic anhydride using dimethylaminopyridine as a catalyst in the presence of a base, followed by heating for decarboxylation to give a compound of the formula [4]



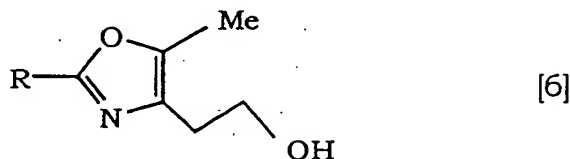
wherein R is as defined above;

(c) adding p-toluenesulfonic acid without isolating this compound to give an oxazolyacetate derivative of the formula [5]



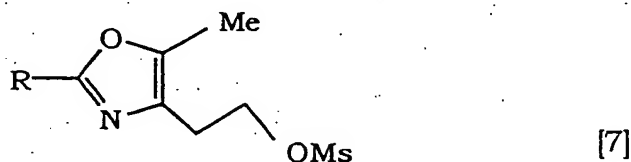
wherein R is as defined above;

(d) reducing this compound in tetrahydrofuran in the presence of NaBH<sub>4</sub> as a reducing agent and methanol as an activating agent to give an oxazolyethanol derivative of the formula [6]



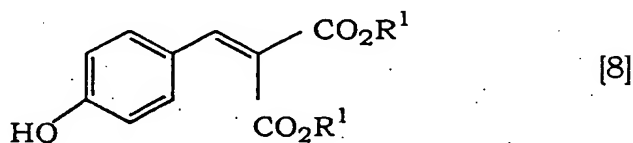
wherein R is as defined above;

(e) reacting this compound with mesyl chloride in toluene in the presence of triethylamine as a base catalyst to give a methanesulfonate derivative of the formula [7]

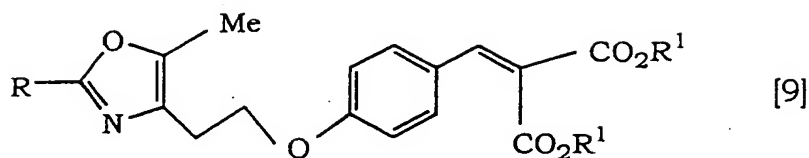


wherein R is as defined above;

(f) reacting this compound with a compound of the formula [8]

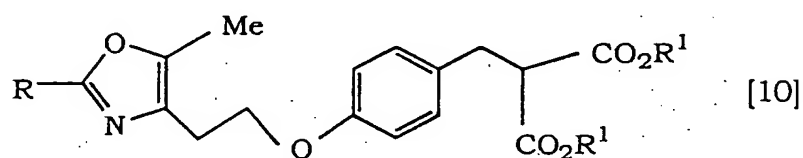


wherein R<sup>1</sup> is a lower alkyl, in the presence of potassium carbonate and a quaternary ammonium salt or tris[2-(2-methoxyethoxy)ethyl]amine as a catalyst to give a benzylidene derivative of the formula [9]



wherein R and R<sup>1</sup> is as defined above;

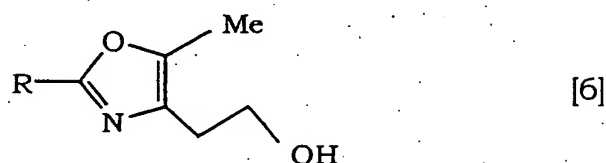
(g) reducing this compound under hydrogen atmosphere to give a malonic acid derivative of the formula [10]



wherein R and R<sup>1</sup> is as defined above; and

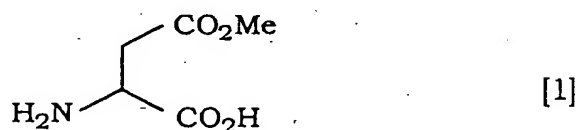
(h) reacting this compound with hydroxylamine in the presence of a base.

2. A method for producing an oxazolylethanol derivative of the formula [6]



wherein R is as defined in claim 1, or a salt thereof comprising the steps of

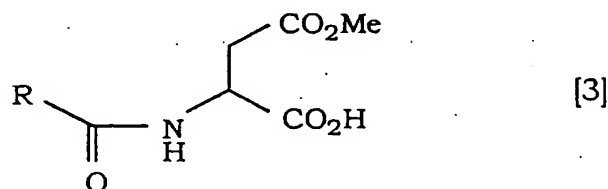
(b) reacting a compound [1]



or a salt thereof in an aqueous solvent with a compound of the formula [2]

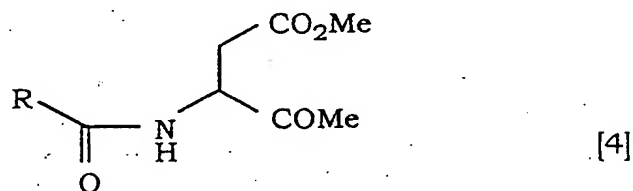


wherein R is as defined above, in the presence of an inorganic base to give an aspartate derivative of the formula [3]



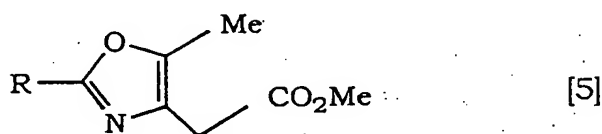
wherein R is as defined above;

(b) reacting this compound with acetic anhydride using dimethylaminopyridine as a catalyst in the presence of a base, followed by heating for decarboxylation to give a compound of the formula [4]



wherein R is as defined above;

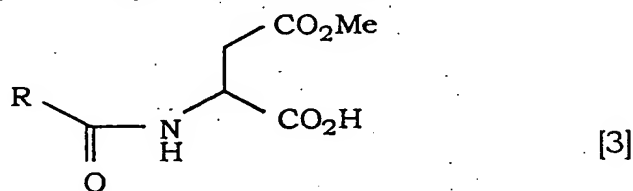
(c) adding p-toluenesulfonic acid without isolating this compound to give an oxazolylacetate derivative of the formula [5]



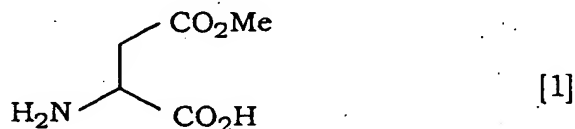
wherein R is as defined above; and

(d) reducing this compound in tetrahydrofuran in the presence of  $\text{NaBH}_4$  as a reducing agent and methanol as an activating agent.

3. A method for producing an aspartate derivative of the formula [3]



wherein R is as defined in claim 1, or a salt thereof, comprising reacting a compound [1]

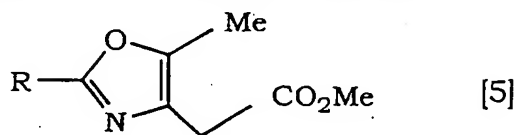


or a salt thereof with a compound of the formula [2]

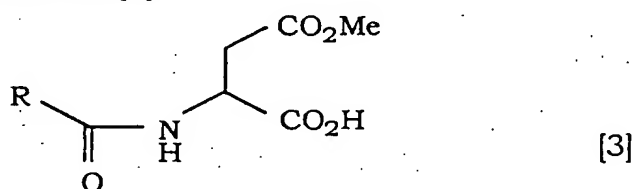


wherein R is as defined above, in an aqueous solvent in the presence of an inorganic base.

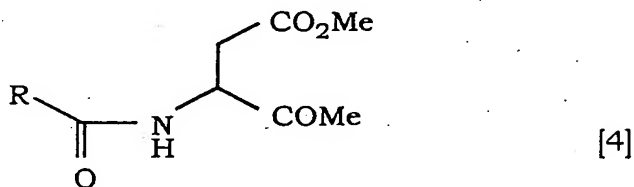
4. A method for producing an oxazolylacetate derivative of the formula [5]



wherein R is as defined in claim 1, or a salt thereof, comprising reacting a compound of the formula [3]

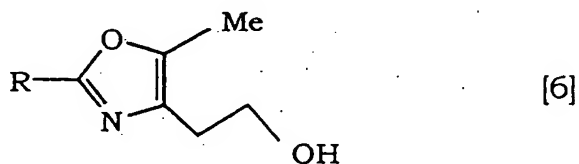


wherein R is as defined above, with acetic anhydride using dimethylaminopyridine as a catalyst in the presence of a base, heating for decarboxylation to give a compound of the formula [4]

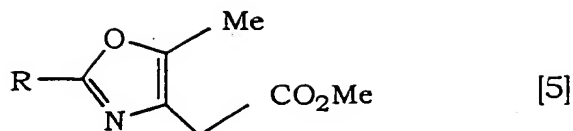


wherein R is as defined above, and adding p-toluenesulfonic acid without isolating this compound.

5. A method for producing an oxazolyethanol derivative of the formula [6]

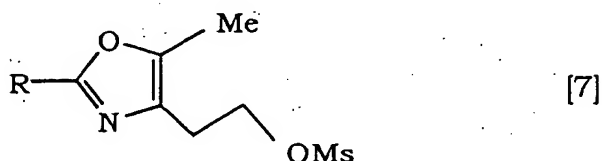


wherein R is as defined in claim 1, or a salt thereof, comprising reacting an oxazolylacetate derivative of the formula [5]

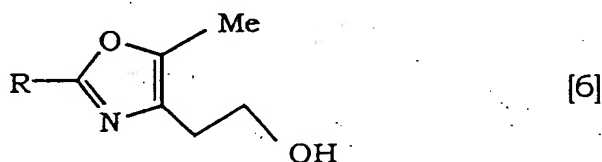


wherein R is as defined above, in tetrahydrofuran in the presence of  $\text{NaBH}_4$  as a reducing agent and methanol as an activating agent.

6. A method for producing a methanesulfonate derivative of the formula [7]

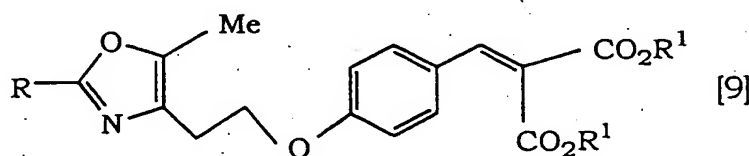


wherein R is as defined in claim 1, or a salt thereof, comprising reacting an oxazolyethanol derivative of the formula [6]

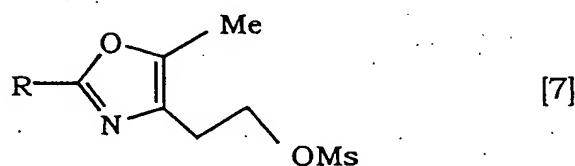


wherein R is as defined above, with mesyl chloride in toluene in the presence of triethylamine as a base catalyst.

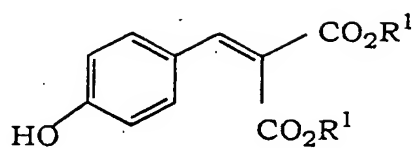
7. A method for producing a benzylidene derivative of the formula [9]



wherein R is as defined in claim 1 and  $\text{R}^1$  is a lower alkyl, or a salt thereof, comprising reacting a methanesulfonate derivative of the formula [7]



wherein R is as defined above, with a compound of the formula [8]



[8]

wherein  $\text{R}^1$  is as defined above, in the presence of potassium carbonate and a quaternary ammonium salt or tris[2-(2-methoxyethoxy)ethyl]amine as a catalyst.